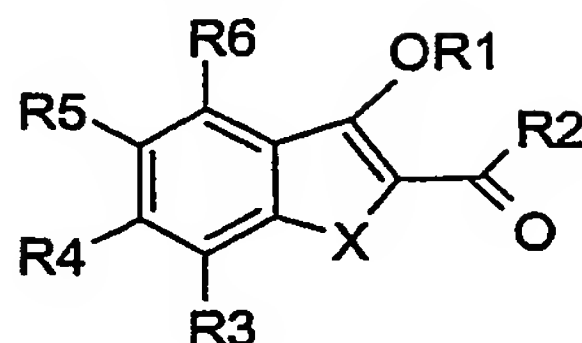


CLAIMS

1. Compounds of the general formula (I):



(I)

5 in which:

X = O or S;

R1 is chosen from:

-Alk-COOH,

-Alk-C(=O)-(O)_m-Ar,

10 -Alk-C(=O)-(O)_m-Het,

-Alk-C(=O)-(O)_m-Alk,

-Alk-C(=O)-(O)_m-cycloalkyl,

-Alk-C(=O)NRR',

-Alk-(O)_m-Ar,

15 -Alk-O-Alk,

-Alk-O-Alk-Ar,

-Alk-O-Het;

R2 is chosen from -OH, -OAlk, -NR₇R₈, -OAr, -OHet and -O-cycloalkyl;

20 R7 is chosen from H and -Alk;

R8 is chosen from

-H;

-Alk' or -cycloalkyl,

25 in which Alk' or cycloalkyl is optionally substituted by one or more groups chosen from -OAlk, -CN, -OHet, -OH, -C(=O)-(O)_mAlk, -C(=O)-(O)_mAr, -C(=O)-(O)_mHet, -C(=O)-(O)_m-cycloalkyl, -COOH and -NO₂;

-Ar' or Het',

in which Ar' or Het' is optionally substituted by one or more groups chosen from Hal, -OAlk, -OH, -Alk, -Ar, -OAlkAr, -OH, -CN, -OAr, -CF₃, -AlkAr, -COOH, -NRR', -Het, -C(=O)-(O)_mAlk, -C(=O)-(O)_mAr, -C(=O)-(O)_mHet, -C(=O)-(O)_m-cycloalkyl and NO₂;

or R7 and R8 form, together with the nitrogen atom to which they are attached,
5 a nitrogenous heterocycle of 5 to 10 atoms;

R3, R4, R5 and R6, which may be identical or different, are chosen independently from H, -Hal, -OH, -Alk, -OAlk, -CN, -CF₃, -NRR' and -NO₂;

in which, in the definitions of R1-R8:

10 each of the Alk, which may be identical or different, is optionally and independently substituted by one or more groups chosen from -Hal, -OAlk, -Ar, -OAlkAr, -OH, -CN, -OAr, -CF₃, -COOH, -NRR', -C(=O)-(O)_mAlk, -Het and -NO₂;

each of the Ar, which may be identical or different, is optionally and independently substituted by one or more groups chosen from -Hal, -OAlk, -Alk, -Ar, -OAlkAr, 15 -OH, -CN, -OAr, -CF₃, -AlkAr, -COOH, -C(=O)-(O)_mAlk, -Alk-C(=O)-(O)_mAlk, -NRR', -Het, -NO₂, -S(O)_n-Ar and -S(O)_nAlk;

R and R' are chosen independently from H and Alk;

m = 0 or 1;

n = 0, 1 or 2;

20 and also the stereoisomers thereof, and the racemates and pharmaceutically acceptable salts thereof,

with the exception of the compounds for which :

1) R1 = CH₂-phenyl, optionally substituted by -NO₂ or -OMe,
25 R2 = -OMe, -OEt or -OH, R3, R6 = H, R4, R5 = H or -OMe,
X = O or S, or

2) R1 = -CH₂-C(=O)Me, R3, R4, R5, R6 = H, X = O and R2 = -OEt or X = S and R2 = -OMe;

30 3) R1 = -CH₂-CO₂Et, R2 = -OEt, R3, R4, R6 = H, X = O and R5 = -NH₂ or -NO₂; or R1 = -CH₂-CO₂Me, R3, R4, R5, R6 = H, R2 = -OMe and X = O or S, or R2 = -OH and X = S; or

R1 = -CH₂CO₂H, R3, R4, R5, R6 = H, R2 = OH and X = S;

4) R1 = -CH₂-phenyl, R2 = -NH₂, X = O, S and R5 = -OMe, or X = O and R5 = phenyl.

5

2. Compounds of the general formula (I) according to Claim 1, in which:

R2 = -OEt and X = S, and

R1 is chosen from:

-Alk-COOH,

10

-Alk-C(=O)-(O)_m-Ar,

-Alk-C(=O)-(O)_m-Het,

-Alk-C(=O)-(O)_m-Alk,

-Alk-C(=O)-(O)_m-cycloalkyl,

-Alk-C(=O)NRR',

15

-Alk-(O)_m-Ar,

-Alk-O-Alk,

-Alk-O-Alk-Ar,

-Alk-O-Het,

20 R3, R4, R5 and R6, which may be identical or different, are chosen independently from H, -Hal, -OH, -Alk, -OAlk, -CN, -CF₃, -NRR' and -NO₂;

in which, in the definitions of R1-R8:

each of the Alk, which may be identical or different, is optionally and independently substituted by one or more groups chosen from -Hal, -OAlk, -Ar, -OAlkAr, -OH, -CN, -OAr, -CF₃, -COOH, -NRR', -C(=O)-(O)_mAlk, -Het and -NO₂;

25

in which each of the Ar, which may be identical or different, is optionally and independently substituted by one or more groups chosen from -Hal, -OAlk, -Alk, -Ar, -OAlkAr, -OH, -CN, -OAr, -CF₃, -AlkAr, -COOH, -C(=O)-(O)_mAlk, -Alk-C(=O)-(O)_mAlk, -NRR', -Het, -NO₂, -S(O)_n-Ar and -S(O)_nAlk;

R and R' are chosen independently from H and Alk;

30

m = 0 or 1;

n = 0, 1 or 2;

and also the stereoisomers thereof, and the racemates and pharmaceutically acceptable salts thereof.

3. Compounds of the general formula (I) according to Claim 1, in which:

X = O or S;

R1 is chosen from:

5 -Alk-COOH,

-Alk-C(=O)-(O)_m-Ar,

-Alk-C(=O)-(O)_m-Het,

-Alk-C(=O)-(O)_m-Alk,

-Alk-C(=O)-(O)_m-cycloalkyl,

10 -Alk-C(=O)NRR',

-Alk-(O)_m-Ar,

-Alk-O-Alk,

-Alk-O-Alk-Ar,

-Alk-O-Het;

15 R2 = -NR₇R₈ in which

R₇ is chosen from H and -Alk;

R₈ is chosen from

-Alk' or -cycloalkyl,

20 in which Alk' or cycloalkyl is optionally substituted by one or more groups chosen from -OAlk, -CN, -OHet, -OH, -C(=O)-(O)_mAlk, -C(=O)-(O)_mAr, -C(=O)-(O)_mHet, -C(=O)-(O)_mcycloalkyl, -COOH and -NO₂;

-Ar' or Het';

25 in which Ar' or Het' is optionally substituted by one or more groups chosen from Hal, -OAlk, -OH, -Alk, -Ar, -OAlkAr, -OH, -CN, -OAr, -CF₃, -AlkAr, -COOH, -NRR', -Het, -C(=O)-(O)_mAlk, -C(=O)-(O)_mAr, -C(=O)-(O)_mHet, -C(=O)-(O)_mcycloalkyl and NO₂;

30 R₃, R₄, R₅ and R₆, which may be identical or different, are chosen independently from H, -Hal, -OH, -Alk, -OAlk, -CN, -CF₃, -NRR' and -NO₂;

in which each of the Alk, which may be identical or different, is optionally and independently substituted by one or more groups chosen from -Hal, -OAlk, -Ar, -OAlkAr, -OH, -CN, -OAr, -CF₃, -COOH, -NRR', -C(=O)-(O)_mAlk, -Het and -NO₂;

in which each of the Ar, which may be identical or different, is optionally and independently substituted by one or more groups chosen from -Hal, -OAlk, -Alk, -Ar, -OAlkAr, -OH, -CN, -OAr, -CF₃, -AlkAr, -COOH, -C(=O)-(O)_mAlk, -Alk-C(=O)-(O)_mAlk, -NRR', -Het, -NO₂, -S(O)_n-Ar and -S(O)_nAlk;

5 R and R' are chosen independently from H and Alk;

m = 0 or 1;

n = 0, 1 or 2;

X = O or S;

R1 is chosen from:

- 10 -Alk-COOH,
-Alk-C(=O)-(O)_m-Ar,
-Alk-C(=O)-(O)_m-Het,
-Alk-C(=O)-(O)_m-Alk,
-Alk-C(=O)-(O)_m-cycloalkyl,
15 -Alk-C(=O)NRR',
-Alk-(O)_m-Ar,
-Alk-O-Alk,
-Alk-O-Alk-Ar,
-Alk-O-Het;

20 and also the stereoisomers thereof, and the racemates and pharmaceutically acceptable salts thereof,

4. Compounds of the formula (I) according to any one of the preceding claims, in which R₃, R₄, R₅, R₆ = H.

25

5. Compounds of the formula (I) according to any one of the preceding claims, in which X = S.

30

6. Compounds of the formula (I) according to any one of the preceding claims, in which R₂ = -OAlk.

7. Compounds of the formula (I) according to any one of the preceding claims, in which m = 0.

8. Compounds of the formula (I) according to any one of the preceding claims, in which $R_2 = -NR_7R_8$,

in which

5 $R_7 = H$ or Alk and

$R_8 = -Alk'$ optionally substituted by $-C(=O)-OAlk$, $-Het'$, $-Ar'$ optionally substituted by $-Hal$, $-C(=O)OAlk$ or $-Alk-C(=O)OAlk$.

10 9. Compounds of the formula (I) according to any one of the preceding claims, in which:

$R_1 = -CH_2-COOH$, $-CH_2-C(=O)-(O)_m-Ar$, $-CH_2-C(=O)-(O)_m-Het$, $-CH_2-C(=O)-(O)_m-Alk$, $-CH_2-C(=O)NRR'$, $-CH_2-(O)_m-Ar$, $-CH_2-O-Alk$, $-CH_2-O-Alk-Ar$ or $-CH_2-O-Het$ in which

15 Ar is optionally substituted by one or more groups chosen from Hal, $-OAlk$, $-Ar$, $-Alk$, $-O-Alk-Ar$, $-C(=O)-(O)_m-Alk$, $-Alk-C(=O)-(O)_mAlk$, $-S(O)_n-Ar$, $-S(O)_n-Alk$, $-O-CF_3$, $-CN$ and $-OH$,

in which $m = 0$ or 1 , $n = 2$.

20 10. Compounds of the formula (I) according to any one of the preceding Claims 1 to 8, in which $R_1 = -CH_2-C(=O)-Ar$, $-CH_2-C(=O)-Alk$ or $-(CH_2)_{m'}-(O)_m-Ar$, in which

Ar is optionally substituted by one or more groups chosen from Hal, $-OAlk$, $-Ar$, $-Alk$, $-O-Alk-Ar$, $-C(=O)-(O)_m-Alk$, $-Alk-C(=O)-(O)_mAlk$, $-S(O)_n-Ar$, $-S(O)_n-Alk$, $-O-CF_3$, $-CN$ and $-OH$,

in which $m = 0$ or 1 , $m' = 1$ or 2 , $n = 2$.

25

11. Compounds of the formula (I) according to Claim 10, in which $m' = 2$ if $m = 1$.

12. Compounds of the formula (I) according to any one of the preceding claims, in which $Ar = phenyl$.

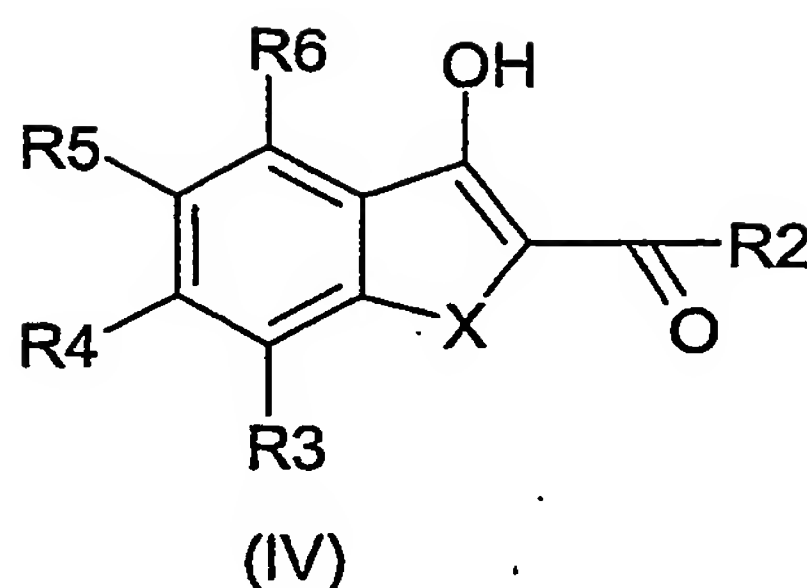
30

13. Compounds of the formula (I) according to any one of the preceding claims, in which $R_1 = -CH_2-C(=O) Alk$.

14. Compounds of the formula (I) according to Claim 13, in which Alk = -CMe₃.
15. Compounds of the formula (I) according to any one of Claims 1 to 12, in which
5 R1 = -CH₂-C(=O)-phenyl or -CH₂-phenyl, in which phenyl is optionally substituted by one or more groups chosen from -Hal, -OAlk and -CN.
16. Compounds according to any one of the preceding claims, chosen from:
- ethyl 3-[2-(4-chlorophenyl)-2-oxoethoxy]benzo[b]thiophene-2-carboxylate;
10 ethyl 3-(2-oxo-2-phenylethoxy)benzo[b]thiophene-2-carboxylate;
ethyl 3-[2-(2-methoxyphenyl)-2-oxoethoxy]benzo[b]thiophene-2-carboxylate;
ethyl 3-(2-biphenyl-4-yl-2-oxoethoxy)benzo[b]thiophene-2-carboxylate;
ethyl 3-(2-oxo-2-*p*-tolylethoxy)benzo[b]thiophene-2-carboxylate;
ethyl 3-(2-adamantan-1-yl-2-oxoethoxy)benzo[b]thiophene-2-carboxylate;
15 ethyl 3-[2-(4-fluorophenyl)-2-oxoethoxy]benzo[b]thiophene-2-carboxylate;
ethyl 3-[2-(3-methoxyphenyl)-2-oxoethoxy]benzo[b]thiophene-2-carboxylate;
ethyl 3-[2-(4-benzyloxyphenyl)-2-oxoethoxy]benzo[b]thiophene-2-carboxylate;
ethyl 3-(1-methyl-2-oxo-2-phenylethoxy)benzo[b]thiophene-2-carboxylate;
ethyl 3-[2-(2,4-dimethoxyphenyl)-2-oxoethoxy]benzo[b]thiophene-2-carboxylate;
20 ethyl 3-(3,3-dimethyl-2-oxobutoxy)benzo[b]thiophene-2-carboxylate;
ethyl 3-(2-naphthalen-2-yl-2-oxoethoxy)benzo[b]thiophene-2-carboxylate;
ethyl 3-[2-(2,3-dichloro-4-methoxyphenyl)-2-oxoethoxy]benzo[b]thiophene-2-carboxylate;
ethyl 3-[2-(2-benzyloxy-5-fluorophenyl)-2-oxoethoxy]benzo[b]thiophene-2-carboxy-
25 late;
ethyl 3-hydroxybenzo[b]thiophene-2-carboxylate;
ethyl 3-[2-(4-fluorophenoxy)ethoxy]benzo[b]thiophene-2-carboxylate;
ethyl 3-phenethyloxybenzo[b]thiophene-2-carboxylate;
ethyl 3-(2-phenoxyethoxy)benzo[b]thiophene-2-carboxylate;
30 ethyl 3-[2-(4-cyanophenoxy)ethoxy]benzo[b]thiophene-2-carboxylate;
ethyl 3-{2-[4-(2-methoxycarbonyl)ethyl]phenoxy}ethoxybenzo[b]thiophene-2-carboxylate;
ethyl 3-[2-(naphthalen-1-yloxy)ethoxy]benzo[b]thiophene-2-carboxylate;

- ethyl 3-[2-(2-methoxyphenoxy)ethoxy]benzo[*b*]thiophene-2-carboxylate;
ethyl 3-[2-(2,3-dimethylphenoxy)ethoxy]benzo[*b*]thiophene-2-carboxylate;
ethyl 3-(2'-cyanobiphenyl-4-ylmethoxy)benzo[*b*]thiophene-2-carboxylate;
ethyl 3-(2-hydroxy-3-phenoxypropoxy)benzo[*b*]thiophene-2-carboxylate;
5 ethyl 3-(3-phenoxypropoxy)benzo[*b*]thiophene-2-carboxylate;
ethyl 3-(2-cyanobenzyloxy)benzo[*b*]thiophene-2-carboxylate;
ethyl 3-(3-cyanobenzyloxy)benzo[*b*]thiophene-2-carboxylate;
ethyl 3-(4-cyanobenzyloxy)benzo[*b*]thiophene-2-carboxylate;
ethyl 3-(2-benzenesulfonylmethylbenzyloxy)benzo[*b*]thiophene-2-carboxylate;
10 ethyl 3-(4-methoxycarbonylbenzyloxy)benzo[*b*]thiophene-2-carboxylate;
ethyl 3-(4-trifluoromethoxybenzyloxy)benzo[*b*]thiophene-2-carboxylate;
ethyl 3-pentafluorophenylmethoxybenzo[*b*]thiophene-2-carboxylate;
ethyl 3-(4-trifluoromethylbenzyloxy)benzo[*b*]thiophene-2-carboxylate;
ethyl 3-(naphthalen-2-ylmethoxy)benzo[*b*]thiophene-2-carboxylate;
15 ethyl 3-(biphenyl-2-ylmethoxy)benzo[*b*]thiophene-2-carboxylate;
ethyl 3-(3-methoxybenzyloxy)benzo[*b*]thiophene-2-carboxylate;
ethyl 3-(4-fluorobenzyloxy)benzo[*b*]thiophene-2-carboxylate;
ethyl 3-(4-bromobenzyloxy)benzo[*b*]thiophene-2-carboxylate;
ethyl 3-(4-methylbenzyloxy)benzo[*b*]thiophene-2-carboxylate;
20 ethyl 3-benzyloxybenzo[*b*]thiophene-2-carboxylate;
ethyl 3-(2,3-difluorobenzyloxy)benzo[*b*]thiophene-2-carboxylate;
and also the stereoisomeric forms, and the racemates and pharmaceutically acceptable salts thereof.
- 25 17. Process for the preparation of a compound of the formula (I) according to any one of the preceding claims, comprising the step consisting in using:
a compound of the formula (IV)

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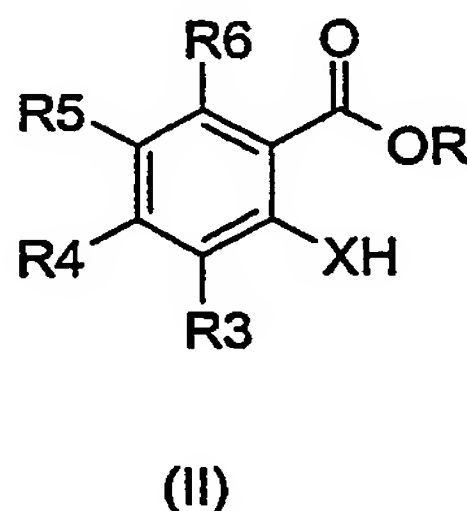


with a halo derivative of the formula (V):

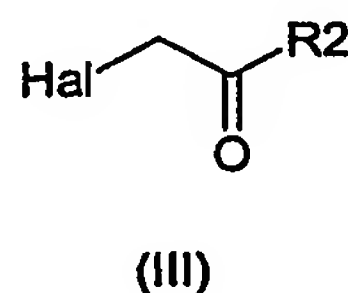


in which R1-R6 are defined as in any one of the preceding claims, with an equimolar amount, in a polar solvent, at a temperature of from -20 to 200°C.

18. Process for the preparation of the compounds of the formula (I) according to Claim 17, for which the compound of the formula (IV) is obtained by adding a compound of the formula (II):



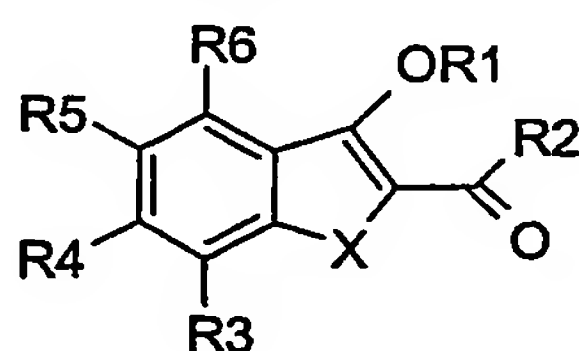
in which R3-R6 and X are as defined in any one of Claims 1 to 16, and R represents a hydrogen atom or an alkyl radical, to a 2-haloethanone derivative of the formula (III):



in which Hal represents a halogen atom and R2 is as defined in any one of Claims 1 to 16, in a polar solvent, at a temperature of from -20 to 200°C, followed by cyclization in a polar solvent, at a temperature of from -20 to 200°C.

19. Process for the preparation of the compounds of the formula (I) according to Claim 17 or 18, for which the said polar solvent is chosen from: ethanol, methanol, water, DMF, NMP, DMSO and iPrOH.

5 20. Pharmaceutical compositions comprising the compounds of the formula (I):



(I)

in which:

X = O or S;

R1 is chosen from:

- 10 -Alk-COOH,
 -Alk-C(=O)-(O)_m-Ar,
 -Alk-C(=O)-(O)_m-Het,
 -Alk-C(=O)-(O)_m-Alk,
 -Alk-C(=O)-(O)_m-cycloalkyl,
 15 -Alk-C(=O)NRR',
 -Alk-(O)_m-Ar,
 -Alk-O-Alk,
 -Alk-O-Alk-Ar,
 -Alk-O-Het;

20 R2 is chosen from -OH, -OAlk, -NR₇R₈, -OAr, -OHet and -O-cycloalkyl;

R7 is chosen from H and -Alk;

R8 is chosen from

- H;
 25 -Alk' or -cycloalkyl,

in which Alk' or cycloalkyl is optionally substituted by one or more groups chosen from -OAlk, -CN, -OHet, -OH, -C(=O)-(O)_mAlk, -C(=O)-(O)_mAr, -C(=O)-(O)_mHet, -C(=O)-(O)_m-cycloalkyl, -COOH and -NO₂;

-Ar' or Het',

in which Ar' or Het' is optionally substituted by one or more groups chosen from Hal, -OAlk, -OH, -Alk, -Ar, -OAlkAr, -OH, -CN, -OAr, -CF₃, -AlkAr, -COOH -NRR', -Het,
5 -C(=O)-(O)_mAlk, -C(=O)-(O)_mAr, -C(=O)-(O)_mHet, -C(=O)-(O)_m-cycloalkyl and NO₂;
or R7 and R8 form, together with the nitrogen atom to which they are attached,
a nitrogenous heterocycle of 5 to 10 atoms;

R3, R4, R5 and R6, which may be identical or different, are chosen indepen-
10 dently from H, -Hal, -OH, -Alk, -OAlk, -CN, -CF₃, -NRR' and -NO₂;

in which, in the definitions of R1-R8:

each of the Alk, which may be identical or different, is optionally and indepen-
dently substituted by one or more groups chosen from -Hal, -OAlk, -Ar, -OAlkAr, -OH,
-CN, -OAr, -CF₃, -COOH, -NRR', -C(=O)-(O)_mAlk, -Het and -NO₂;

15 each of the Ar, which may be identical or different, is optionally and indepen-
dently substituted by one or more groups chosen from -Hal, -OAlk, -Alk, -Ar, -OAlkAr,
-OH, -CN, -OAr, -CF₃, -AlkAr, -COOH, -C(=O)-(O)_mAlk, -Alk-C(=O)-(O)_mAlk, -NRR',
-Het, -NO₂, -S(O)_n-Ar and -S(O)_nAlk;

R and R' are chosen independently from H and Alk;

20 m = 0 or 1;

n = 0, 1 or 2;

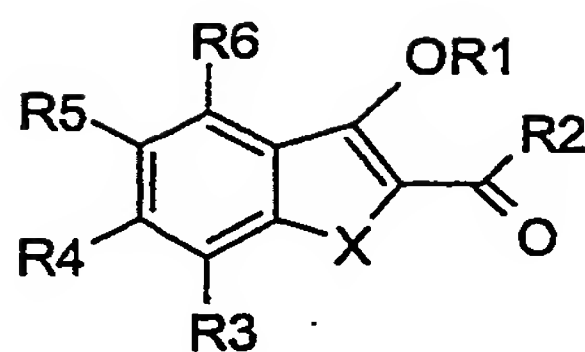
and also the stereoisomers thereof, and the racemates and pharmaceutically
acceptable salts thereof.

25

21. Pharmaceutical compositions according to Claim 17, in which X and R1-R6
are as defined according to any one of Claims 2 to 16.

22. Use of the compounds of the formula (I):

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(I)

in which:

X = O or S;

R1 is chosen from:

- Alk-COOH,
- Alk-C(=O)-(O)_m-Ar,
- Alk-C(=O)-(O)_m-Het,
- Alk-C(=O)-(O)_m-Alk,
- Alk-C(=O)-(O)_m-cycloalkyl,
- Alk-C(=O)NRR',
- Alk-(O)_m-Ar,
- Alk-O-Alk,
- Alk-O-Alk-Ar,
- Alk-O-Het;

R2 is chosen from -OH, -OAlk, -NR₇R₈, -OAr, -OHet and -O-cycloalkyl;

R7 is chosen from H and -Alk;

R8 is chosen from

- H;
- Alk' or -cycloalkyl,

in which Alk' or cycloalkyl is optionally substituted by one or more groups chosen from -OAlk, -CN, -OHet, -OH, -C(=O)-(O)_mAlk, -C(=O)-(O)_mAr, -C(=O)-(O)_mHet, -C(=O)-(O)_m-cycloalkyl, -COOH and -NO₂;

-Ar' or Het',

in which Ar' or Het' is optionally substituted by one or more groups chosen from Hal, -OAlk, -OH, -Alk, -Ar, -OAlkAr, -OH, -CN, -OAr, -CF₃, -AlkAr, -COOH, -NRR', -Het, -C(=O)-(O)_mAlk, -C(=O)-(O)_mAr, -C(=O)-(O)_mHet, -C(=O)-(O)_m-cycloalkyl and NO₂;

or R7 and R8 form, together with the nitrogen atom to which they are attached, a nitrogenous heterocycle of 5 to 10 atoms;

5 R3, R4, R5 and R6, which may be identical or different, are chosen independently from H, -Hal, -OH, -Alk, -OAlk, -CN, -CF₃, -NRR' and -NO₂;

in which, in the definitions of R1-R8:

each of the Alk, which may be identical or different, is optionally and independently substituted by one or more groups chosen from -Hal, -OAlk, -Ar, -OAlkAr, -OH, -CN, -OAr, -CF₃, -COOH, -NRR', -C(=O)-(O)_mAlk, -Het and -NO₂;

10 each of the Ar, which may be identical or different, is optionally and independently substituted by one or more groups chosen from -Hal, -OAlk, -Alk, -Ar, -OAlkAr, -OH, -CN, -OAr, -CF₃, -AlkAr, -COOH, -C(=O)-(O)_mAlk, -Alk-C(=O)-(O)_mAlk, -NRR', -Het, -NO₂, -S(O)_n-Ar and -S(O)_nAlk;

R and R' are chosen independently from H and Alk;

15 m = 0 or 1;

n = 0, 1 or 2;

and also the stereoisomers thereof, and the racemates and pharmaceutically acceptable salts thereof,

for the manufacture of a medicament for reducing hyperglycaemia.

20

23. Use according to Claim 22, for which the said medicament is for the treatment of diabetes.

24. Utilisation according to Claim 22 or 23, for which the said medicament is for
25 the treatment of non-insulin-dependent diabetes.

25. Use according to Claim 22, 23 or 24, for which the said medicament is for the treatment of dyslipidaemia and/or obesity.

30 26. Use according to any one of Claims 22 to 25, for which the said medicament is for the treatment of and/or preventing diabetes-related microvascular and macrovascular complications.

27. Use according to Claim 26, for which the said microvascular and macrovascular complications are chosen from atherosclerosis, arterial hypertension, diabetes-related inflammatory processes, microangiopathy, macroangiopathy, retinopathy and neuropathy.

5

28. Use according to any one of Claims 22 to 27, in which X and R₁-R₆ are as defined according to any one of Claims 1 to 16.